

10598846

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



10598846

(43) International Publication Date
5 October 2006 (05.10.2006)

(10) International Publication Number
WO 2006/103688 A1

(51) International Patent Classification:

C07D 401/00 (2006.01) A61K 31/445 (2006.01)

(21) International Application Number:

PCT/IN2005/000097

(22) International Filing Date:

1 April 2005 (01.04.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(71) Applicant (for all designated States except US):

HETERO DRUGS LIMITED [IN/IN]; Hetero House, 8-3-166/7/1, Erragadda, Hyderabad, Andhrapradesh, Hyderabad 500018 (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only):

PARTHASARADHI REDDY, Bandi [IN/IN]; Hetero House, 8-3-166/7/1, Erragadda, Hyderabad, Andhrapradesh, Hyderabad 500018 (IN). RATHNAKAR REDDY, Kura [IN/IN]; Hetero Drugs Limited (R & D), Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad 500018 (IN). RAJI REDDY, Rapolu [IN/IN]; Hetero

Drugs Limited (R & D), Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad 500018 (IN). MURALIDHARA REDDY, Dasari [IN/IN]; Hetero Drugs Limited (R & D), Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad 500018 (IN). SUBASH CHANDER REDDY, Kesireddy [IN/IN]; Hetero Drugs Limited (R & D), Plot No. B-80 & 81, A.P.I.E., Balanagar, Hyderabad 500018 (IN).

(81) Designated States (unless otherwise indicated, for every kind of national protection available):

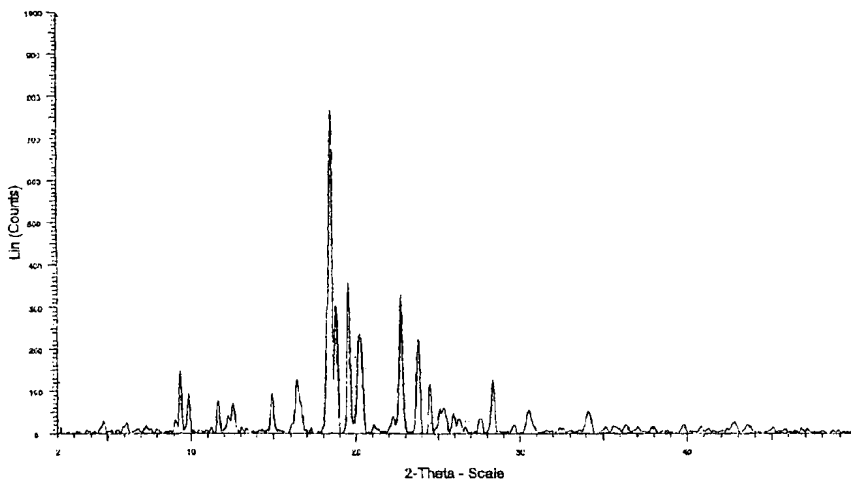
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available):

ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW). Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,

[Continued on next page]

(54) Title: A NOVEL CRYSTALLINE FORM OF RUPATADINE FREE BASE



(57) Abstract: The present invention relates to a novel crystalline form of rupatadine free base, process for its preparation and to a pharmaceutical composition containing it. In accordance with the present invention rupatadine is suspended in n-hexane, n-heptane, cyclohexane, diethyl ether or diisopropyl ether, stirred for at least 1 hour, filtered the solid and dried to give crystalline rupatadine form-B. The isolation of novel rupatadine free base as crystalline form-B may be useful as a purification of rupatadine or a salt thereof.

WO 2006/103688 A1



SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- of inventorship (Rule 4.17(iv))

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.